

## ABSTRACT OF THE INVENTION

Methods and protected amino acids useful as building blocks (protected monomers) for the synthesis of peptides and proteins that are selectively modified at one or more side-chain hydroxyl groups. Azide-bearing protecting groups allow the selective deprotection of side-chain hydroxyl groups of amino acids after synthesis of a peptide. Reaction conditions for removal of the azide-bearing protecting group can be selected which are substantially orthogonal to those that will remove  $\alpha$ -amino protecting groups typically employed in peptide synthesis, such that hydroxyl groups protected with the azide-bearing protecting group remain protected during synthesis of the peptide chain. Various protecting groups which are readily available can be used for protecting potentially reactive side chain groups of amino acids in the peptide or protein to be modified. Preferred side-chain protecting groups are chemically distinguishable from the azide-bearing protecting group and substantially orthogonal reaction conditions can be selected such that side-chain protection of other amino acids is maintained when the azide-bearing protecting group is removed. The use of the azide-bearing protecting group of this invention for one or more hydroxy amino acids during peptide synthesis allows the selective unmasking of those azide-protected side-chain hydroxyl groups and selective modification of the hydroxyl groups that are selectively unmasked. The methods and materials herein are particularly used in synthesis of sulfated, phosphorylated and glycosylated peptides and proteins. Kits and methods of synthesizing a modified peptide or protein using the kits are also provided.